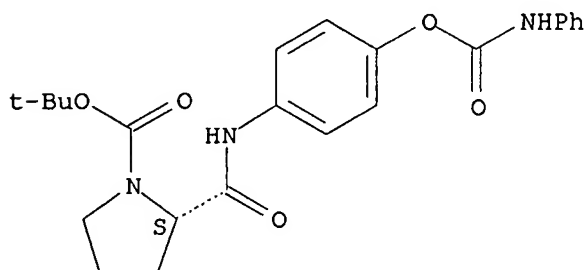


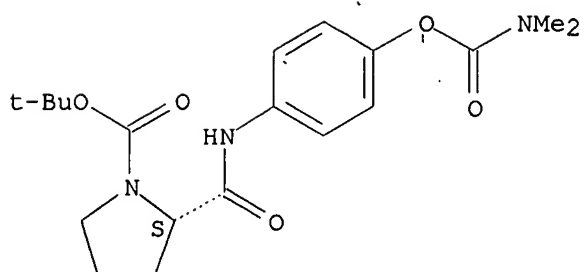
L9 ANSWER 4 OF 6 CAPLUS COPYRIGHT 2002 ACS
 AN 1986:460932 CAPLUS
 DN 105:60932
 TI Peptidyl carbamates incorporating amino acid isosteres as novel elastase inhibitors
 AU Digenis, George A.; Agha, Bushra J.; Tsuji, Kiyoshi; Kato, Masayuki; Shinogi, Masaki
 CS Coll. Pharm., Univ. Kentucky, Lexington, KY, 40536-0053, USA
 SO J. Med. Chem. (1986), 29(8), 1468-76
 CODEN: JMCMAR; ISSN: 0022-2623
 DT Journal
 LA English
 OS CASREACT 105:60932
 AB Title peptidyl carbamates MeO₂CCH₂CH₂CO-Ala-Ala-Pro-NHZO₂CNRR1 [I; Z = p-C₆H₄, R = H, R₁ = Ph, CHMe₂; Z = p-C₆H₄, R = R₁ = Me; Z = o-C₆H₄, CH(CHMe₂)CH₂, R = H, R₁ = Ph] and MeO₂CCH₂CH₂CO-Ala-Ala-Pro-CH₂N(CHMe₂)COXR₂ [II; X = O, R₂ = C₆H₄NO₂-p, Ph, C₆F₅, CH₂CF₂CF₂CF₃; X = S, R₂ = CH₂Ph, 1-methyl-5-tetrazolyl, 1-phenyl-5-tetrazolyl] were prepd. and they were tested as inhibitors of elastase, trypsin, and chymotrypsin. Thus, Boc-Pro-NH₂OH (Boc = Me₃CO₂C) were treated with RR₁NCOC₁ or RR₁NCO to give Boc-Pro-NHZO₂CNRR1, which were Boc-deblocked and then coupled with MeO₂CCH₂CH₂CO-Ala-Ala-OH (III) by ClCO₂CH₂CHMe₂ to give I. Boc-Pro-CH₂Cl was treated with H₂NCHMe₂ to give Boc-Pro-CH₂NHCHMe₂, which was treated with R₂XCOCl to give Boc-Pro-CH₂N(CHMe₂)COXR₂, which were Boc-deblocked and then coupled with III to give II. Six peptidyl carbamates specifically inhibited elastase without affecting trypsin and chymotrypsin. Kinetic studies showed that the inhibition was competitive. The inhibition is reversible and proceeds via the rapid formation of a strong enzyme-inhibitor complex, followed by slow acylation of the serine residue on the active site of the enzyme.
 IT 102284-31-1P 102284-32-2P 102284-33-3P 102284-34-4P
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deblocking of)
 RN 102284-31-1 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[(phenylamino)carbonyl]oxy]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 102284-32-2 CAPLUS
 CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[(dimethylamino)carbonyl]oxy]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

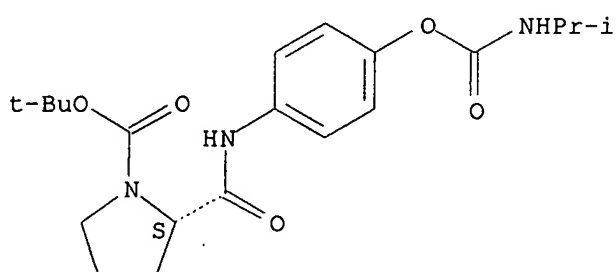
Absolute stereochemistry.



RN 102284-33-3 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[4-[[[(1-methylethyl)amino]carbonyl]oxy]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

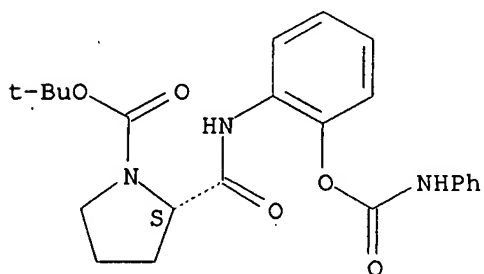
Absolute stereochemistry.



RN 102284-34-4 CAPLUS

CN 1-Pyrrolidinecarboxylic acid, 2-[[[2-[[[(phenylamino)carbonyl]oxy]phenyl]amino]carbonyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



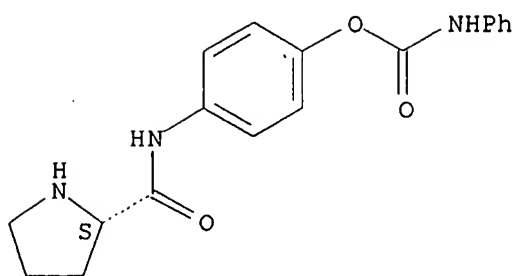
IT 102284-36-6P 102284-37-7P 102284-38-8P
102284-39-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and peptide coupling of, with (methoxycarbonyl)propionyl
dipeptide)

RN 102284-36-6 CAPLUS

CN 2-Pyrrolidinecarboxamide, N-[4-[[[(phenylamino)carbonyl]oxy]phenyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

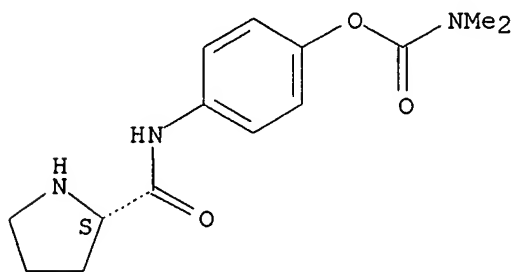
Absolute stereochemistry.



● HCl

RN 102284-37-7 CAPLUS
 CN Carbamic acid, dimethyl-, 4-[(2-pyrrolidinylcarbonyl)amino]phenyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

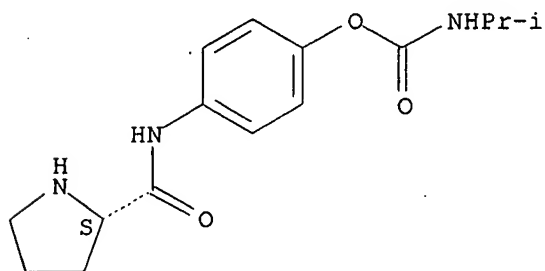
Absolute stereochemistry.



● HCl

RN 102284-38-8 CAPLUS
 CN Carbamic acid, (1-methylethyl)-, 4-[(2-pyrrolidinylcarbonyl)amino]phenyl ester, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

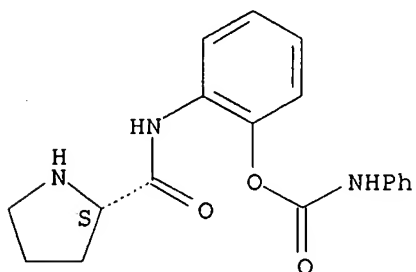
Absolute stereochemistry.



● HCl

RN 102284-39-9 CAPLUS
CN 2-Pyrrolidinecarboxamide, N-[2-[[(phenylamino) carbonyl]oxy]phenyl]-,
monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

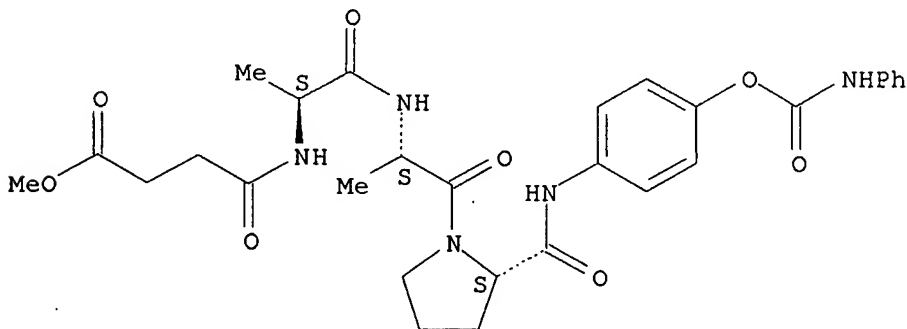
IT 92279-27-1P 92279-28-2P 92279-29-3P
92279-30-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 92279-27-1 CAPLUS

CN L-Prolinamide, N-(4-methoxy-1,4-dioxobutyl)-L-alanyl-L-alanyl-N-[4-
[[(phenylamino) carbonyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

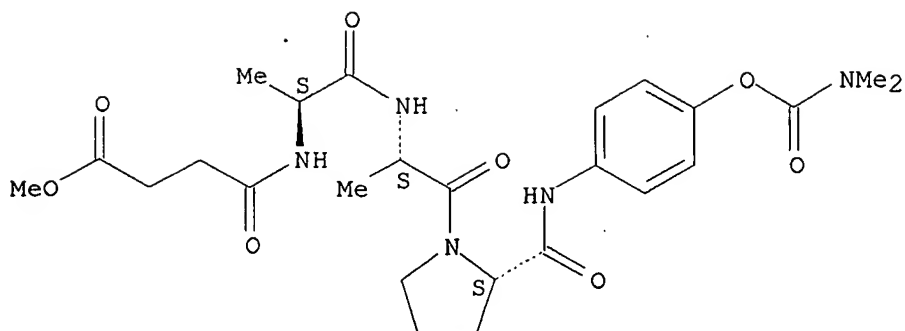
Absolute stereochemistry.



RN 92279-28-2 CAPLUS

CN L-Prolinamide, N-(4-methoxy-1,4-dioxobutyl)-L-alanyl-L-alanyl-N-[4-
[[(dimethylamino) carbonyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

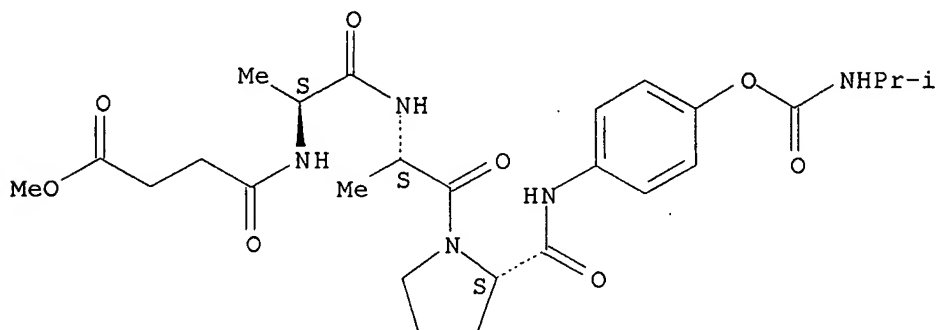
Absolute stereochemistry.



RN 92279-29-3 CAPLUS

CN L-Prolinamide, N-(4-methoxy-1,4-dioxobutyl)-L-alanyl-L-alanyl-N-[4-[[[(1-methylethyl)amino]carbonyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 92279-30-6 CAPLUS

CN L-Prolinamide, N-(4-methoxy-1,4-dioxobutyl)-L-alanyl-L-alanyl-N-[2-[[[(phenylamino)carbonyl]oxy]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

